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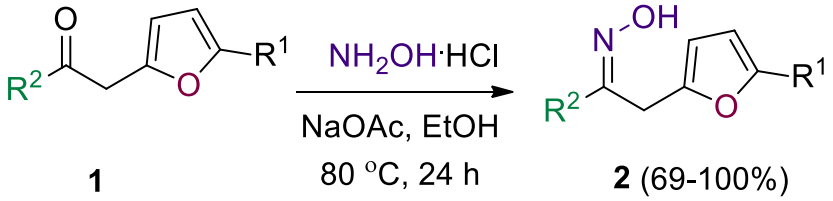
SYNTHESIS OF ISOXAZOLYLVINYL KETONES FROM FURAN DERIVATIVES*

Keywords: isoxazole, furan.

Isoxazole derivatives are known to reveal promising activity against breast cancer (MCF-7), prostate cancer (PC3), the human glioma central nervous system (SNB-19), lung adenocarcinoma (A549), colon cancer (Colo-205), and other cell lines [1–3].

Additionally, isoxazolylvinyl ketones and isoxazole-chalcones bearing α,β-unsaturated fragment demonstrate activity against lung cancer cell lines H1792, H157, A549, Calu-1, [4] and prostate DU-145 cancer cell lines [5].

We have shown that easily available oximes of furfuryl ketones **2** (Scheme 1) can serve as a starting point for the synthesis of isoxazolylvinyl ketones employing Ring-Opening-Ring-Closure (RORC) strategy.



1 **2** (69-100%)

Scheme 1

The target isoxazoles *(E,Z)*-**3** were obtained through the reaction of oximes **2** with *m*-CPBA followed by treatment of the reaction mixture with TFA (Scheme 2). The products **3** were isolated as a mixture of *(E,Z)*-isomers. Each product **3** was prepared in a pure (*E*)-form through isomerization with iodine.

The structure of isoxazole *(E)*-**3g** was confirmed by single-crystal X-Ray diffraction (fig. 1).

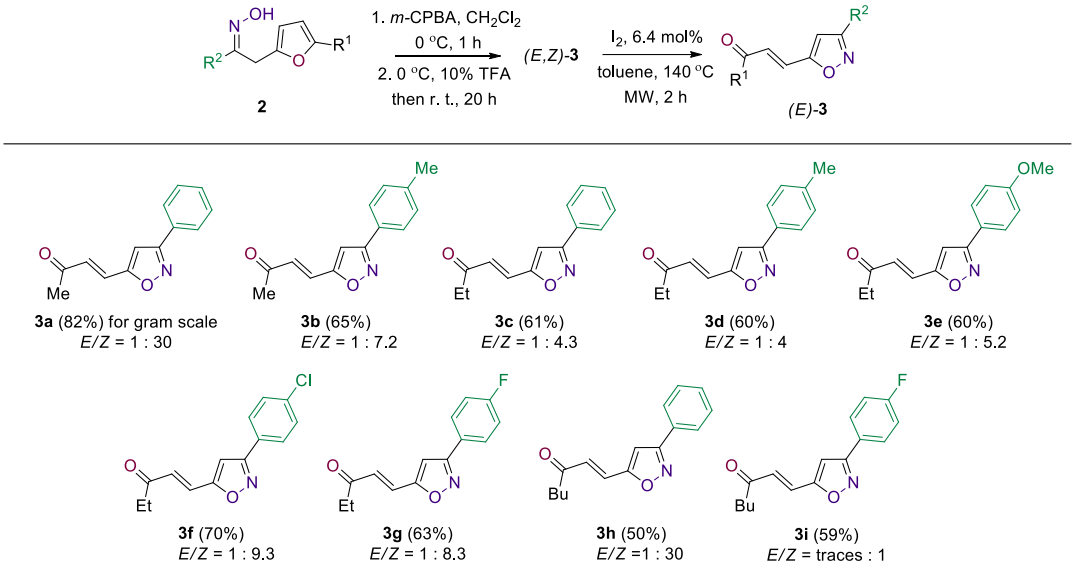
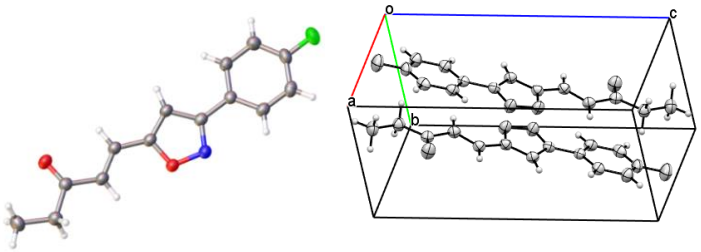


Figure 1



Scheme 2

In summary, we developed a new route to isoxazoles with α,β-unsaturated fragment, studied the scope of the reaction, and proposed its mechanism.

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